A Formal Synthesis of Atorvastatin

Significance: The key step in this formal synthesis of atorvastatin (Lipitor®) is the enantioselective intramolecular oxa-Michael reaction of A mediated by 10 mol% of benzothiadiazine catalyst B. Methods for converting G and its relatives into atorvastatin have been summarized by Y. Kawato et al. (Chem. Eur. J. 2013, 19, 3802; see also references therein).


Phenolic nucleophiles (14 examples) also participate in the oxa-Michael reaction, and in the case of H only 1 mol% of catalyst I is required.